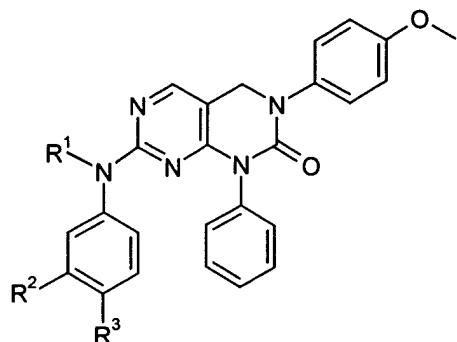


CLAIMS

What is Claimed is:

1. A compound of formula:



I,

wherein

R¹ is selected from the group

- H,
- COR⁴, and
- COOCHR⁵OCOR⁴;

R² and R³ are independently selected from

- H, and
- OR⁵;

R⁴ is selected from the group

- C₁₋₆ alkyl,
- lower alkyl substituted by up to 4 groups independently selected from

-NR⁵R⁶,
-SR⁵,
-OR⁵,
-aryl,
-aryl substituted by up to 2 groups independently selected from
-OR⁵ and C₁₋₄ lower alkyl, and
-heteroaryl, and
-heterocycle;

R⁵ and R⁶ are independently selected from

-H, and
- C₁₋₅ lower alkyl,

or, alternatively, -NR⁵R⁶ can form a ring having 3 to 7 atoms, said ring optionally including one or more additional N or O atoms.

2. The compound of claim 1 wherein R¹ is -COR⁴.
3. The compound of claim 2 wherein R² is H.
4. The compound of claim 3 wherein R³ is H.
5. The compound of claim 4 which is selected from the group:

N-[3-(4-Methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-phenylacetamide (Ex. 2);

N-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-phenylpropanamide (Ex. 3);

2-Amino-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-*N*-phenylacetamide acetic acid salt (Ex. 6b);

2-Amino-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-*N*-phenylacetamide hydrochloric acid salt (Ex. 6c);

(2*S*)-2-Amino-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-4-methylthio-*N*-phenylbutanamide (Ex. 7b);

(2*S*)-2-amino-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-4-methylthio-*N*-phenylbutanamide acetic acid salt (Ex. 7c);

(2*S*)-2-Amino-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-4-methylthio-*N*-phenylbutanamide hydrochloric acid salt (Ex. 7d);

(2*S*)-2-Amino-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-3-phenyl-*N*-phenylpropanamide (Ex. 8b);

(2*S*)-2-Amino-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-3-phenyl-*N*-phenylpropanamide hydrochloric acid salt (Ex. 8c);

(2*S*)-2-Amino-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-4-methyl-*N*-phenylpentanamide acetic acid salt (Ex. 9b);

(2S)-2-Amino-N-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-4-methyl-N-phenylpentanamide hydrochloric acid salt (Ex. 9c);

(2S)-2-Amino-3-(4-hydroxyphenyl)-N-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-phenylpropanamide hydrochloric acid salt (Ex. 10b);

(2S)-2,6-Diamino-N-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-phenylhexanamide di-hydrochloric acid salt (Ex. 11b);

(2S)-2-Amino-3-indol-3-yl-N-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-phenylpropanamide hydrochloric acid salt (Ex. 12b);

(2S)-2-Amino-3-hydroxy-N-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-phenylpropana (Ex. 13b);

(2R)-2-Amino-N-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-4-methylthio-N-phenylbutanamide hydrochloric acid salt (Ex. 14b);

N-[3-(4-Methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-phenylpentanamide (Ex. 16); and

N-[3-(4-Methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-phenylbutanamide (Ex. 17).

6. The compound of claim 2 which is selected from the group:

N-(4-Hydroxyphenyl)-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]acetamide (Ex. 19e); and

N-(4-methoxyphenyl)-*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]acetamide (Ex. 21).

7. The compound of claim 1 wherein R¹ is -COOCHR⁵OCOR⁴.

8. The compound of claim 7 wherein R² is H.

9. The compound of claim 8 wherein R³ is H.

10. The compound of claim 9 which is selected from the group:

{*N*-[3-(4-Methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-*N*-phenylcarbamoyloxy}methyl acetate (Ex. 4b);

{*N*-[3-(4-Methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-*N*-phenylcarbamoyloxy}methyl 2-(dimethylamino)acetate (Ex. 5a);

{*N*-[3-(4-Methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-*N*-phenylcarbamoyloxy}methyl 2-(dimethylamino)acetate hydrochloric acid salt (Ex. 5b); and

{*N*-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-*N*-phenylcarbamoyloxy}methyl piperidine-4-carboxylate trifluoroacetic acid salt (Ex. 15).

11. The compound of claim 1 wherein R¹ is H.
12. The compound of claim 11 wherein R² is H.
13. The compound of claim 12 which is selected from the group:
3-(4-Methoxyphenyl)-1-phenyl-7-(phenylamino)-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one (Ex. 1g);
3-(4-Methoxyphenyl)-1-phenyl-7-(phenylamino)-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one methanesulfonate salt (Ex. 1h);
7-[(4-Hydroxyphenyl)amino]-3-(4-methoxyphenyl)-1-phenyl-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one (Ex. 18); and
3-(4-Methoxyphenyl)-7-[(4-methoxyphenyl)amino]-1-phenyl-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one.

14. A compound selected from the group:

3-(4-Methoxyphenyl)-1-phenyl-7-(phenylamino)-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one,
3-(4-Methoxyphenyl)-1-phenyl-7-(phenylamino)-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one methanesulfonate salt,
7-[(4-Hydroxyphenyl)amino]-3-(4-methoxyphenyl)-1-phenyl-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one, and
3-(4-Methoxyphenyl)-7-[(4-methoxyphenyl)amino]-1-phenyl-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one.

15. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 and pharmaceutically acceptable carrier or excipient.
16. A method for treating cancer comprising administering to a patient in need of such treatment a therapeutically effective amount of a compound of claim 1.
17. A method of controlling cancer comprising administering to a patient in need of such treatment a therapeutically effective amount of a compound of claim 1.
18. The method of claim 16 wherein the cancer is lung, colon or prostate cancer.
19. The method of claim 17 wherein the cancer is lung, colon or prostate cancer.
20. A compound selected from the group:

(Chloromethoxy)-N-[3-(4-methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-benzamide [Example 4a]

3-(4-Methoxyphenyl)-1-phenyl-7-{{4-(1,1,2,2-tetramethyl-1-silapropoxy)phenyl}amino}-1,3,4-trihydropyrimidino[4,5-d]pyrimidin-2-one [Example 19c], and

N-[3-(4-Methoxyphenyl)-2-oxo-1-phenyl(1,3,4-trihydropyrimidino[4,5-d]pyrimidin-7-yl)]-N-[4-(1,1,2,2-tetramethyl-1-silapropoxy)phenyl]acetamide [Example 19d].